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NEWS 3	NOV 26	MARPAT enhanced with FSORT command
NEWS 4	NOV 26	CHEMSAFE now available on STN Easy
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NEWS 6	DEC 01	ChemPort single article sales feature unavailable
NEWS 7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS 8	DEC 17	Fifty-one pharmaceutical ingredients added to PS
NEWS 9	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 14	FEB 10	COMPENDEX reloaded and enhanced
NEWS 15	FEB 11	WTEXTILES reloaded and enhanced
NEWS 16	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 17	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 19	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 20	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 22	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS 23	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS 24	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS 25	MAR 11	ESBIOBASE reloaded and enhanced

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 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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DICTIONARY FILE UPDATES:  17 MAR 2009  HIGHEST RN 1122748-29-1

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=>
Uploading C:\Program Files\STNEXP\Queries\10555712 species without amino acid.str
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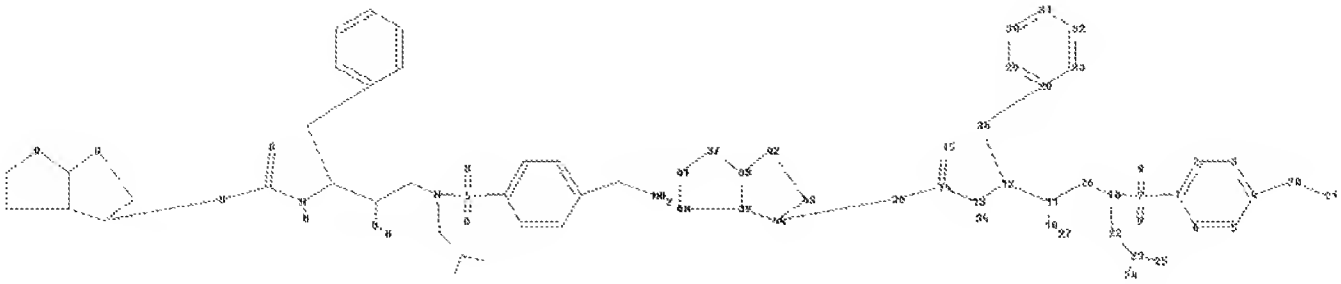

chain nodes :
7 8 9 10 11 12 13 14 15 18 20 21 22 23 24 25 26 27 34 35 36

ring nodes :
1 2 3 4 5 6 28 29 30 31 32 33 37 38 39 40 41 42 43 44
chain bonds :
1-7 4-20 7-8 7-9 7-10 10-22 10-26 11-18 11-12 11-26 12-13 12-34 13-14
13-35 14-15 14-36 18-27 20-21 22-23 23-24 23-25 28-34 36-44
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 28-29 28-33 29-30 30-31 31-32 32-33 37-38 37-
41
38-39 38-42 39-40 39-44 40-41 42-43 43-44
exact/norm bonds :
1-7 7-8 7-9 7-10 10-22 10-26 11-18 12-13 13-14 14-15 14-36 20-21 36-44

exact bonds :
4-20 11-12 11-26 12-34 13-35 18-27 22-23 23-24 23-25 28-34 37-38 37-41
38-39 38-42 39-40 39-44 40-41 42-43 43-44
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 28-29 28-33 29-30 30-31 31-32 32-33
isolated ring systems :
containing 1 : 28 : 37 :

G1:H,Ak

G2:O,Cb,Cy,Hy,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 18:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS
24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
33:Atom
34:CLASS 35:CLASS 36:CLASS 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom 42:Atom
43:Atom 44:Atom

L1 STRUCTURE UPLOADED

=> d L1
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

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COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.48       0.70

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FILE COVERS 1907 - 19 Mar 2009  VOL 150 ISS 12
FILE LAST UPDATED: 18 Mar 2009  (20090318/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

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FULL SCREEN SEARCH COMPLETED -     2177 TO ITERATE

100.0% PROCESSED     2177 ITERATIONS                                2 ANSWERS
SEARCH TIME: 00.00.01

L2             2 SEA SSS FUL L1



L3           8 L2

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 8 ANSWERS - CONTINUE? Y/(N):y
```

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1339849 CAPLUS Full-text
DOCUMENT NUMBER: 149:525349
TITLE: Small molecule inhibitors of HIV protease dimerization for use in treatment of HIV infection and AIDS
INVENTOR(S): Mitsuya, Hiroaki; Koh, Yasuhiro; Ghosh, Arun K.
PATENT ASSIGNEE(S): Purdue Research Foundation, USA
SOURCE: PCT Int. Appl., 127pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

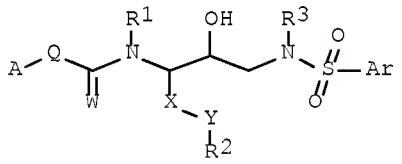
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008133734	A2	20081106	WO 2007-US85265	20071120
WO 2008133734	A3	20090108		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-866786P P 20061121
US 2007-945708P P 20070622

OTHER SOURCE(S): MARPAT 149:525349
GI



I

AB Described herein are compds. I (A = (substituted)heterocyclyl, heterocyclylalkyl, heteroarylalkyl; Q = O, S, N, CRaRb; Ra,Rb = H, alkyl, alkoxy; W = O, S; R1 = H, N protecting group, prodrug substituent; X = CRaRb; Y = O, S, NRc, NRcSO2; Rc = H, alkyl, N protecting group; R2 = (substituted)alkyl, cycloalkyl, cycloalkylalkyl; aryl, heteroaryl, arylalkyl, heteroarylalkyl; R3 = alkyl, cycloalkyl, heterocyclyl, etc.) and compns. that are useful in the treatment of HIV, AIDS, and AIDS-related diseases. I are capable of inhibiting the dimerization of HIV proteases. Thus, the syntheses of numerous I compds. are described. Such compds. were shown to prevent protease dimerization and HIV-1 proliferation in cell cultures. Development of resistance to protease dimerization inhibitors was examined and the sequences of protease mutants exhibiting such resistance was determined The

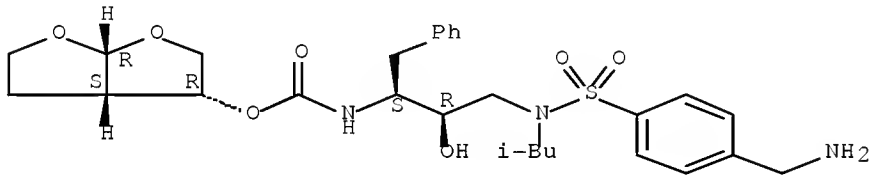
crystal structure of protease with one such dimerization inhibitor, GRL-98065, was determined to better analyze such resistance. A FRET-based method for screening for protease dimerization inhibitors comprising transgenic mammalian cells expressing protease-fluorescent protein fusion proteins was developed.

IT 253266-00-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(small mol. inhibitors of HIV protease dimerization for use in treatment of HIV infection and AIDS)

RN 253266-00-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(aminomethyl)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:996120 CAPLUS Full-text

DOCUMENT NUMBER: 141:411225

TITLE: Preparation of peptidyl HIV prodrugs which are cleavable by CD26

INVENTOR(S): De Kock, Herman Augustinus; Wigerinck, Piet Tom Bert Paul; Balzarini, Jan

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

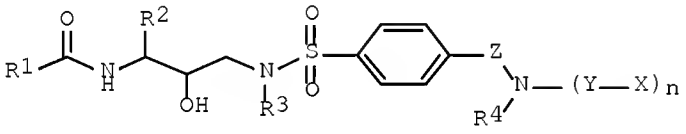
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099135	A2	20041118	WO 2004-EP50753	20040510
WO 2004099135	A3	20050217		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004235988	A1	20041118	AU 2004-235988	20040510
CA 2517338	A1	20041118	CA 2004-2517338	20040510

EP 1624897	A2	20060215	EP 2004-741542		20040510
EP 1624897	B1	20071010			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
BR 2004010158	A	20060516	BR 2004-10158		20040510
CN 1784244	A	20060607	CN 2004-80012260		20040510
JP 2007526872	T	20070920	JP 2006-505596		20040510
AT 375172	T	20071015	AT 2004-741542		20040510
ES 2295879	T3	20080416	ES 2004-741542		20040510
NZ 543946	A	20080926	NZ 2004-543946		20040510
IN 2005DN03880	A	20071130	IN 2005-DN3880		20050831
US 20080214648	A1	20080904	US 2005-555712		20051103
MX 2005012019	A	20060203	MX 2005-12019		20051108
NO 2005005826	A	20060208	NO 2005-5826		20051208
PRIORITY APPLN. INFO.:			GB 2003-10593	A	20030508
			WO 2004-EP50753	W	20040510

OTHER SOURCE(S): MARPAT 141:411225

GI



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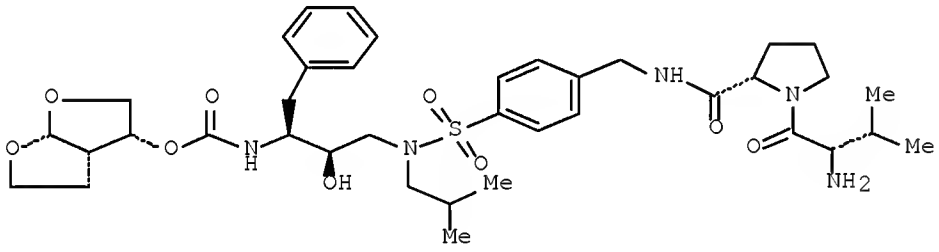


II

AB The invention provides new prodrugs which are conjugates of a therapeutic compound and a peptide which are cleavable by dipeptidyl-peptidases, preferably by CD26, also known as DPPIV (dipeptidyl aminodipeptidase IV). Prodrugs I [n is 1-5; Y is proline, alanine, hydroxyproline, dihydroxyproline, thiazolidinecarboxylic acid (thioproline), dehydroproline, pipecolic acid (L-homoproline), azetidinecarboxylic acid, aziridinecarboxylic acid, glycine, serine, valine, leucine, isoleucine or threonine; X is a D- or L-amino acid; X and Y in each repeat of [Y-X] are chosen independently from one another and independently from other repeats; Z is a direct bond or a bivalent straight or branched saturated hydrocarbon group having from 1 to 4 carbon atoms; R1 is aryl, heteroaryl, aryloxy, heteroaryloxy, aryloxyalkyl, heterocycloalkoxy, heterocycloalkylalkoxy, heteroaryloxyalkyl, heteroarylalkoxy; R2 is arylalkyl; R3 is alkyl, alkenyl or cycloalkylalkyl; R4 is H or alkyl] and their stereoisomeric forms and salts are claimed. Thus, peptide conjugate II (Val-Pro-PI 1) was prepared via peptide coupling reaction and studied biol., e.g., its conversion to the parent drug PI 1 in human or bovine serum.

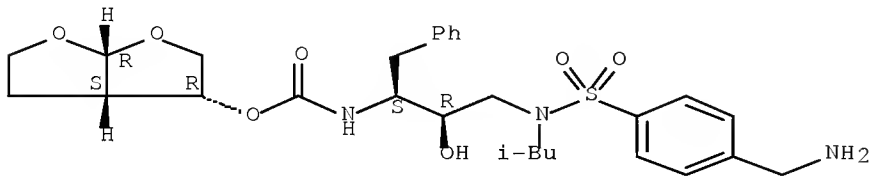
IT 253266-00-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptidyl prodrugs which are cleavable by CD26)

RN 253266-00-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(aminomethyl)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:996009 CAPLUS Full-text

DOCUMENT NUMBER: 141:411224

TITLE: Preparation of peptidyl prodrugs which are cleavable by CD26

INVENTOR(S): Balzarini, Jan

PATENT ASSIGNEE(S): K.U. Leuven Research & Development, Belg.

SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098644	A1	20041118	WO 2004-BE69	20040510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004236371	A1	20041118	AU 2004-236371	20040510
CA 2525191	A1	20041118	CA 2004-2525191	20040510
EP 1620130	A1	20060201	EP 2004-731856	20040510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1784244	A	20060607	CN 2004-80012260	20040510
JP 2006525235	T	20061109	JP 2006-504046	20040510
AT 375172	T	20071015	AT 2004-741542	20040510
ES 2295879	T3	20080416	ES 2004-741542	20040510

US 20070275900 A1 20071129 US 2007-555930 20070731
PRIORITY APPLN. INFO.: GB 2003-10593 A 20030508
WO 2004-BE69 W 20040510

OTHER SOURCE(S): MARPAT 141:411224

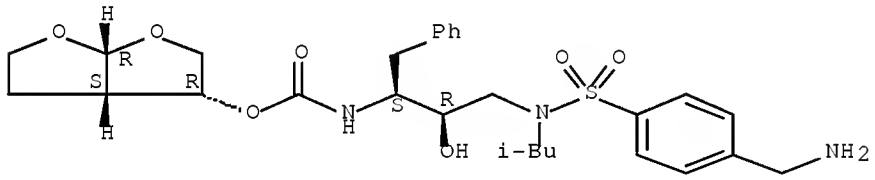
AB The invention provides new prodrug technol. and prodrugs in order to increase solubility, modulate plasma protein binding or enhance the bioavailability of a drug. The prodrugs are conjugates of a therapeutic compound and a peptide (e.g., a tetra- or hexapeptide) which are cleavable by dipeptidyl-peptidases, preferably by CD26, also known as DPPIV (dipeptidyl aminodipeptidase IV). Claimed prodrugs comprise a therapeutic compound linked via an amide bond to an oligopeptide H-(X-Y)n, where X is an amino acid, n is 1-5, and Y is an amino acid selected from the group consisting of proline, alanine, hydroxyproline, dihydroxyproline, thiazolidinecarboxylic acid (thioproline), dehydroproline, pipecolic acid (L-homoproline), azetidinecarboxylic acid, aziridinecarboxylic acid, glycine, serine, valine, leucine, isoleucine and threonine. Thus, Val-Pro-NAP-TSAO, the dipeptide conjugate of the antiviral prodrug NAP-TSAO, was prepared and studied biol., e.g., its conversion to the parent drug in human or bovine serum.

IT 253266-00-1P
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptidyl prodrugs which are cleavable by CD26)

RN 253266-00-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(aminomethyl)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:807698 CAPLUS Full-text

DOCUMENT NUMBER: 142:211389

TITLE: Discovery and Selection of TMC114, a Next Generation HIV-1 Protease Inhibitor

AUTHOR(S): Surleraux, Dominique L. N. G.; Tahri, Abdellah; Verschueren, Wim G.; Pille, Geert M. E.; de Kock, Herman A.; Jonckers, Tim H. M.; Peeters, Anik; De Meyer, Sandra; Azijn, Hilde; Pauwels, Rudi; de Bethune, Marie-Pierre; King, Nancy M.; Prabu-Jeyabalan, Moses; Schiffer, Celia A.; Wigerinck, Piet B. T. P.

CORPORATE SOURCE: Tibotec BVBA, Mechelen, B-2800, Belg.

SOURCE: Journal of Medicinal Chemistry (2005), 48(6), 1813-1822
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

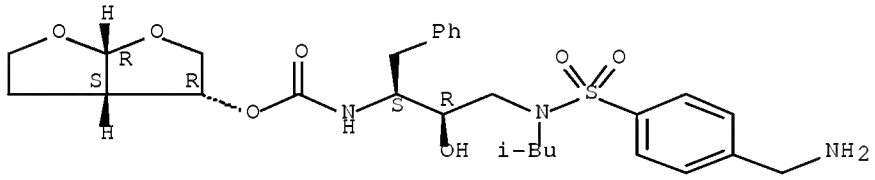
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:211389

AB The screening of known HIV-1 protease inhibitors against a panel of multidrug-resistant viruses revealed the potent activity of TMC126 on drug-resistant mutants. In comparison to amprenavir, the improved affinity of TMC126 is largely the result of one extra hydrogen bond to the backbone of the protein in the P2 pocket. Modification of the substitution pattern on the phenylsulfonamide P2' substituent of TMC126 created an interesting SAR, with the close analog TMC114 being found to have a similar antiviral activity against the mutant and the wild-type viruses. X-ray and thermodn. studies on both wild-type and mutant enzymes showed an extremely high enthalpy driven affinity of TMC114 for HIV-1 protease. In vitro selection of mutants resistant to TMC114 starting from wild-type virus proved to be extremely difficult; this was not the case for other close analogs. Therefore, the extra H-bond to the backbone in the P2 pocket cannot be the only explanation for the interesting antiviral profile of TMC114. Absorption studies in animals indicated that TMC114 has pharmacokinetic properties comparable to currently approved HIV-1 protease inhibitors.

IT 253266-00-1P
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(discovery and selection of TMC114, a next generation HIV-1 protease inhibitor)

RN 253266-00-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(aminomethyl)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



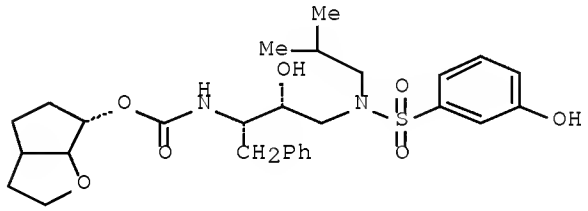
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:757713 CAPLUS Full-text
DOCUMENT NUMBER: 139:276880
TITLE: Preparation of carbamates as HIV protease inhibitors
INVENTOR(S): Ghosh, Arun K.; Bilcer, Geoffrey M.; Devasamudram, Thippeswamy
PATENT ASSIGNEE(S): The Board of Trustees of the University of Illinois, USA
SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003078438	A1	20030925	WO 2003-US7032		20030307
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 20040039016	A1	20040226	US 2003-382435		20030306
US 7157489	B2	20070102			
CA 2478731	A1	20030925	CA 2003-2478731		20030307
AU 2003213776	A1	20030929	AU 2003-213776		20030307
EP 1485387	A1	20041215	EP 2003-711467		20030307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006504621	T	20060209	JP 2003-576443		20030307
MX 2004008858	A	20050620	MX 2004-8858		20040910
US 20070082883	A1	20070412	US 2006-593665		20061107
PRIORITY APPLN. INFO.:			US 2002-363628P	P	20020312
			US 2002-433627P	P	20021213
			US 2003-382435	A3	20030306
			WO 2003-US7032	W	20030307

OTHER SOURCE(S): MARPAT 139:276880

GI



I

AB R1O2CNHCH(CH2Ph)CH(OH)CHR4NR2R3 [R1 = alkyl, aryl, heterocyclic; R2 = H, (un)substituted alkyl, NH2, heterocyclic, cycloalkyl; R3 = (un)substituted cyclohexadienylsulfonyl, arylsulfonyl, aroyl, aralkylsulfonyl, heterocyclylsulfonyl, aralkanoyl, heterocyclic, aroylamino, arylsulfonylamino; NR2R3 = heterocyclic; R4 = H, (un)substituted heterocyclylalkyl] were prepared for use as HIV protease inhibitors in treating wild-type HIV and of multidrug-resistant strains of HIV. Thus, the carbamate I was prepared in a multi-step synthesis and has Ki 2.1 nM for inhibition of HIV protease.

IT 253266-00-1P

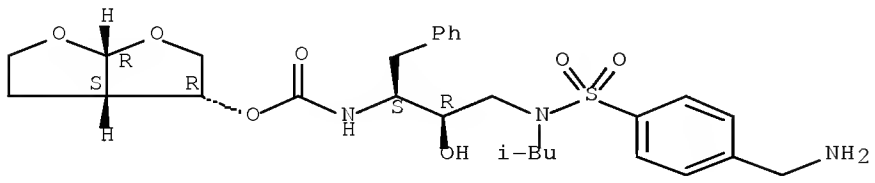
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of carbamates as HIV protease inhibitors)

RN 253266-00-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(aminomethyl)phenyl]sulfonyl](2-

methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-,
(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

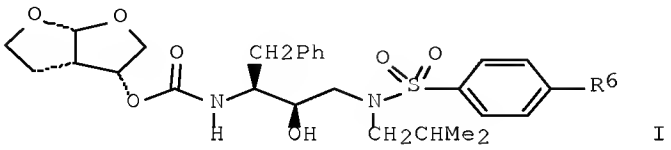
L3 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:511143 CAPLUS Full-text
DOCUMENT NUMBER: 139:85387
TITLE: Preparation of heterocyclic substituted phenylsulfonamides as broad-spectrum HIV protease inhibitors
INVENTOR(S): Vendeville, Sandrine Marie Helene; Verschueren, Wim Gaston; Tahri, Abdellah; Moors, Samuel Leo Christiaan; Erra Sola, Montserrat
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053435	A1	20030703	WO 2002-EP14839	20021220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2470964	A1	20030703	CA 2002-2470964	20021220
AU 2002361235	A1	20030709	AU 2002-361235	20021220
AU 2002361235	B2	20080724		
EP 1463502	A1	20041006	EP 2002-796754	20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002015260	A	20041207	BR 2002-15260	20021220
JP 2005513102	T	20050512	JP 2003-554192	20021220
CN 1620292	A	20050525	CN 2002-828166	20021220
HU 2005000164	A2	20050530	HU 2005-164	20021220
MX 2004006201	A	20041206	MX 2004-6201	20040621

NZ 533665	A	20051028	NZ 2004-533665		20040621
IN 2004DN01777	A	20050401	IN 2004-DN1777		20040622
NO 2004003114	A	20040920	NO 2004-3114		20040720
ZA 2004005784	A	20050831	ZA 2004-5784		20040720
US 20050222215	A1	20051006	US 2005-499221		20050412
PRIORITY APPLN. INFO.:			EP 2001-205115	A	20011221
			WO 2002-EP14839	W	20021220

OTHER SOURCE(S): MARPAT 139:85387

GI



I

AB R1LN(R2)CHR3CH(OH)CH2N(R4)SO2C6H4R5 [R1 = H, alkyl, alkenyl, aralkyl, cycloalkyl, cycloalkylalkyl, aryl, heterocyclic, heterocyclylalkyl, (un)substituted CH2CH2NH2; L = CO, O2C, (un)substituted NHCO, oxaalkylcarbonyl, aminoalkylcarbonyl, SO2, O3S, (un)substituted NHSO2; R2 = H, alkyl; R3 = alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R4 = H, (un)substituted CO2H, CONH2, cycloalkyl, alkenyl, alkynyl, alkyl; R5 = (un)substituted heteroaryl] were prepared for use as broad-spectrum HIV protease inhibitors. Thus, (1S,2R)-Me3CO2CNHCH(CH2Ph)CH(OH)CH2NHCH2CHMe2 was treated with 4-NCC6H4SO2Cl to give (1S,2R)-Me3CO2CNHCH(CH2Ph)CH(OH)CH2N(CH2CHMe2)SO2C6H4CN-4 which was deblocked and treated with the hexahydrofurofuranyloxycarbonyloxypyrrolidinedione to give the carbamate I [R6 = CN]. Treatment of I [R6 = CN] with NH2OH.HCl gave I [R6 = C(NH2):NOH] which was cyclized with 2-furoyl chloride to give I [R6 = 5-(2-furyl)-1,2,4-oxadiazol-3-yl] which had pEC50 = 8.4 for inhibition of HIV-1.

IT 553645-11-7P

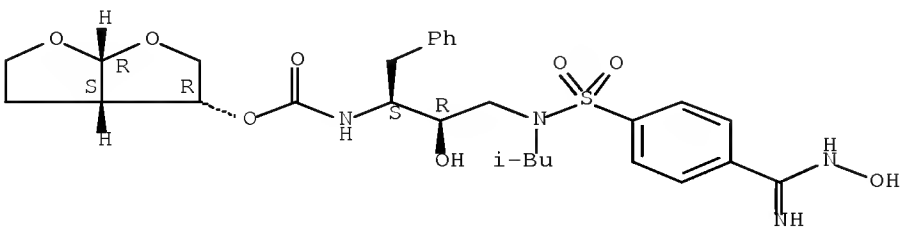
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclic substituted phenylsulfonamides as broad-spectrum HIV protease inhibitors)

RN 553645-11-7 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[(hydroxyamino)iminomethyl]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:819523 CAPLUS Full-text
DOCUMENT NUMBER: 132:59135
TITLE: Fitness assay and associated methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance
INVENTOR(S): Erickson, John W.; Gulnik, Sergei V.
PATENT ASSIGNEE(S): United States of America, Represented by the Secretary, Department of Health and Human Services, USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967417	A2	19991229	WO 1999-US14119	19990623
WO 9967417	A3	20000928		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2336160	A1	19991229	CA 1999-2336160	19990623
AU 9948280	A	20000110	AU 1999-48280	19990623
AU 771780	B2	20040401		
EP 1088098	A2	20010404	EP 1999-931861	19990623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518063	T	20020625	JP 2000-556057	19990623
US 7470506	B1	20081230	US 2001-720276	20010307
AU 2004200629	A1	20040311	AU 2004-200629	20040218
AU 2004200629	B2	20070419		
US 20050158713	A1	20050721	US 2005-30632	20050106
AU 2007203321	A1	20070809	AU 2007-203321	20070717
US 20080085918	A1	20080410	US 2007-870931	20071011

PRIORITY APPLN. INFO.:	US 1998-90393P	P	19980623
	AU 1999-48280	A3	19990623
	WO 1999-US14119	W	19990623
	US 2001-720276	A1	20010307
	AU 2004-200629	A3	20040218

OTHER SOURCE(S): MARPAT 132:59135
GI For diagram(s), see printed CA Issue.
AB The invention provides an assay for determining the biochem. fitness of a biochem. species in a mutant replicating biol. entity relative to its predecessor. The invention further provides a continuous fluorogenic assay for measuring the anti-HIV protease activity of protease inhibitor. The invention also provides a method of administering a therapeutic compound that reduces the chances of the emergence of drug resistance in therapy. The

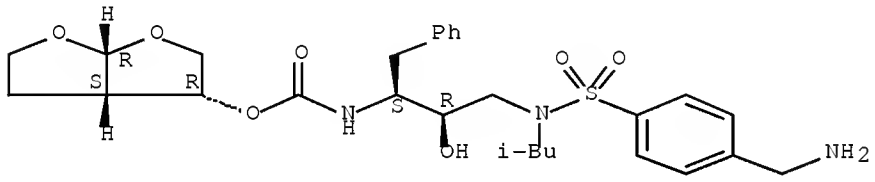
invention also provides a compound AXQN(R2)CH[(CH2)mR3]CH(R4)CH2N(R5)(WR6) [A = Q1, Q2, Q3, Q4; R1, R2, R3, R5, R6 = H, (substituted and/or heteroatom-bearing) alkyl, alkenyl, alkynyl, or cyclic group; Y, Z = CH2, O, S, SO, SO2, amino, amides, carbamates, ureas, or thiocarbonyl derivs. thereof, optionally substituted with an alkyl, alkenyl, or alkynyl group; n = 1-5; X = bond, (substituted) methylene or ethylene, amino, O, S; Q = C(O), C(S), SO2; m = 0-6; R4 = OH, =O (keto), NH2, alkylamino, including esters, amides, and salts thereof; W = C(O), C(S), S(O), SO2; Optionally, R5 and R6, together with the NW bond comprise a macrocyclic ring], or a pharmaceutically acceptable salt, a prodrug, a composition, or an ester thereof.

IT 253266-00-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fitness assay and associated methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

RN 253266-00-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(aminomethyl)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:819380 CAPLUS Full-text

DOCUMENT NUMBER: 132:64254

TITLE: Multidrug-resistant retroviral protease inhibitors and associated methods

INVENTOR(S): Erickson, John W.; Gulnik, Sergei V.; Ghosh, Arun K.; Hussain, Khaja A.

PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA; Board of Trustees of the University of Illinois

SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

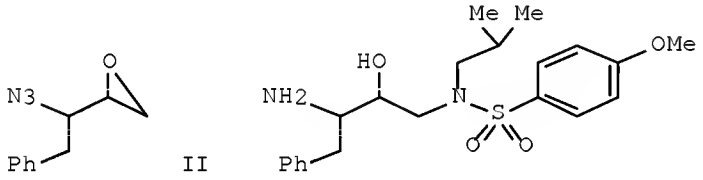
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967254	A2	19991229	WO 1999-US14120	19990623
WO 9967254	A3	20000210		

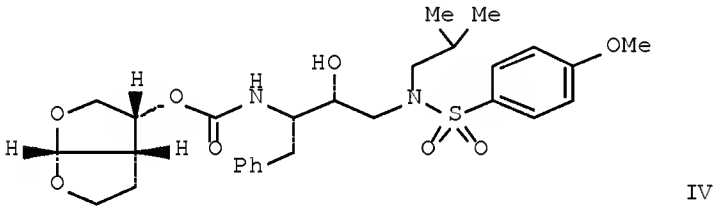
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,

MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
TR, TT, UA, UG, US, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9948281	A	20000110	AU 1999-48281		19990623
AU 2004200629	A1	20040311	AU 2004-200629		20040218
AU 2004200629	B2	20070419			
AU 2007203321	A1	20070809	AU 2007-203321		20070717
PRIORITY APPLN. INFO.:			US 1998-90393P	P	19980623
			AU 1999-48280	A3	19990623
			WO 1999-US14120	W	19990623
			AU 2004-200629	A3	20040218

OTHER SOURCE(S): MARPAT 132:64254
GI





AB Nonpeptidic, retroviral protease-inhibiting compds. AZZ1NR2CH[(CH2)mR3]CHR4CH2NR5Z2R6 [I; A = heterocyclyl (structures specified); R2 = H, C1-6 alk(en)yl, C1-6 alkynyl; R3 = (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl; R4 = OH, O, NH2, NHMe; R5 = H, C1-6 alk(en)yl, etc.; R6 = (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl; R5R6 together with NZ2 bond can form a 12-18-membered ring containing ≥1 addnl. heteroatom; Z = bond, CHR10, O, S, NR10, etc.; R10 = (un)substituted alk(en)yl or alkynyl; Z1, Z2 = C(O), S(O), SO2; m = 0-6] or their pharmaceutically acceptable salts, prodrugs, or esters, were prepared Also provided are pharmaceutical compns. for, and therapeutic methods of treating a multidrug-resistant retroviral infection in a mammal. For example, azidoepoxybutane II (4-step preparation from butadiene monooxide and PhMgBr given) was subjected to ring cleavage/amination with Me2CHCH2NH2, the amine amidated with p-MeOC6H4SO2Cl and the azide function of the resulting amide reduced by Pd-catalyzed hydrogenation to give aminosulfonamide III. Transamidation of the latter with (3R,3aS,6aR)-3-hydroxyhexahydrofuro[2,3-b]furyl succinimidyl carbonate (5-step preparation from dihydrofuran and propargyl alc. given) gave a title inhibitor IV which showed nanomolar and sub-nanomolar potency against several multidrug-resistant HIV-1.

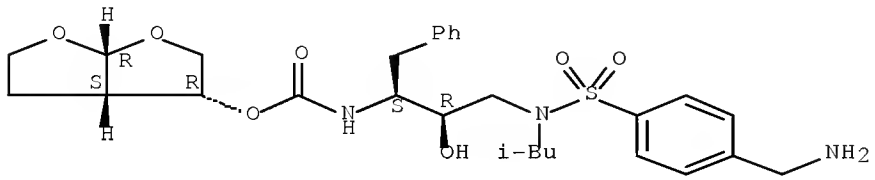
IT 253266-00-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)
(preparation of multidrug-resistant retroviral protease inhibitors and associated methods)

RN 253266-00-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(aminomethyl)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y
STN INTERNATIONAL LOGOFF AT 11:42:49 ON 19 MAR 2009